

I CLAIM:

1. A pharmaceutical composition for neuraxial delivery comprising both a hydrophilic N-linked glycosyl prodrug compound and a formulary, wherein said hydrophilic N-linked glycosyl prodrug compound comprises a CNS acting prodrug compound covalently linked with a saccharide through an amide or an amine bond and said formulary comprises an agent selected from the group consisting of an additive, a stabilizer, a carrier, a binder, a buffer, an excipient, an emollient, a disintegrant, a lubricating agent, an antimicrobial agent and a preservative,

with the proviso that said saccharide moiety is not a cyclodextrin or a glucuronide.

2. The pharmaceutical composition of claim 1, further comprising a dosage form selected from the group consisting of a powder, a granule, an emollient cream, a tablet, a capsule, a lozenge, a trouch, a suppository, a perenteral solution, an injection solution, a syrup, an elixir, a nasal solution, a intrabronchial solution, an ophthalmic solution, a dermal patch and a bandage.

3. The pharmaceutical composition of claim 1, wherein said hydrophilic N-linked glycosyl prodrug compound further comprises a compound according to FORMULA I:

A-B-D-E

Formula I

wherein, each of "-" comprises a single bond; A, comprises a CNS-acting prodrug compound; B, comprises a lower alkyl; D, comprises a nitrogen linker amine or amide; and, E comprises a saccharide, with the proviso that E is not a cyclodextrin or a glucuronide.

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4. The pharmaceutical composition of claim 3 wherein said A-moiety comprises a CNS acting prodrug compound selected from the group consisting of a stimulants, an anti-depressant, a neurotransmitter, a dopaminergic agent, a metabolic precursor compound, a muscle relaxant, a tranquilizer, an analgesic, a narcotic, a sedative, a hypnotic, a narcotic antagonist, a narcotic analgesic, an anti-hypotensive agent, a β -blocker, an anti-hypertensive agent, a vasodilator, an anesthetic, an anti-epileptic compound, an anti-convulsant drug, a hormone, a sympatholytic agent, a centrally acting anti-cholinergic compound, a sympathetic stimulants, an adrenergic agent, a barbiturate antagonist, an anti-infective agent, an anticholinergic agent, an anticonvulsant, an sympatholytics, an ACE inhibitor, an anti-epilepsy agent, an antiviral agent, a gonadotropin synthesis stimulant, a diuretic and an emetic agent.

5. The pharmaceutical composition of claim 4, wherein said CNS acting prodrug further comprises a dopaminergic agonist or antagonist.

6. A process for preparing a hydrophilic N-linked glycosyl prodrug compound for neuraxial delivery, comprising the step of N-linking a CNS acting prodrug compound with a saccharide moiety under conditions suitable for formation of an amide or amine bond between said CNS acting prodrug compound and said saccharide moiety.

7. The process of claim 6, wherein said hydrophilic N-linked glycosyl prodrug compound comprises a compound according to FORMULA I:

A-B-D-E

Formula I

wherein, each of "-" comprises a single bond; A, comprises said CNS-acting prodrug; B, comprises an optional lower alkyl; D, comprises said N-linker amine or amide; and, E comprises said saccharide, with the proviso that E is not a cyclodextrin or a glucuronide.

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10. The method of claim 9, wherein said compound further comprises a compound according to FORMULA IV,

Formula I

wherein, each of "-" comprises a single bond; A, comprises a CNS-acting prodrug; B, comprises a lower alkyl; D, comprises a nitrogen linker amine or amide; and, E comprises a saccharide, with the proviso that E is not a cyclodextrin.



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R₀, R₁, R₂, R₃ and R₄ comprise substituents of Ring 1;

Z, R₅ and R_{5'} are optional; when Z is present it comprises a lower alkyl having
 uents R₅, R_{5'};

N comprises a nitrogen atom of an amine or an amide linked with E through a bond and having R₇ as a substituent; and

with the proviso that when E is a monosaccharide it is not a C₆ glucuronic acid and when E is an oligosaccharide it is not a cyclodextrin.

12. The method of claim 11, wherein said R₂ and R₃ are hydroxyl.

14. The method of claim 10, wherein each of X and Y comprise a lower alkyl having 2 carbon atoms.

23. The method of claim 22, wherein said radical of a sugar is further selected from the group consisting of triosyl, tetraosyl, pentosyl, hexosyl, heptosyl, octosyl and nonosyl radicals and derivatives thereof.

32. The method of claim 31, wherein said sugar homopolymer comprises a glycoside selected from the group consisting of erythran, threan, riban, arabinan, xylan, lyxan, allan, altran, glucan, mannan, gulan, idan, galactan, talan, fructan and derivatives thereof.

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Sub B'

Formula I

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